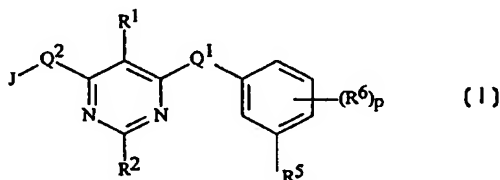




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(54) Title: PHENOXYPYRIMIDINE INSECTICIDES AND ACARICIDES



(57) Abstract

Compounds of Formula (I), and their *N*-oxides and agriculturally suitable salts, are disclosed which are useful as arthropodicides wherein Q^1 is O, S, NR^{17} , $OCR^{18}R^{19}$, or $NR^{17}CR^{18}R^{19}$; wherein when Q^1 is $OCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$, then Q^1 is attached to the pyrimidine through the O or N atom respectively; Q^2 is S, CR^3R^4 , $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$; wherein when Q^2 is $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$ then Q^2 is attached to the pyrimidine through the O, S or N atom respectively; R^1 and R^2 are each independently H, C_1 - C_4 alkyl, halogen, NR^7R^8 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkylthio or nitro; each R^5 is independently H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, SF_5 , $S(O)_nR^9$, cyano or CO_2R^{11} ; each R^6 and each R^{22} is independently H, halogen, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 alkoxy, NR^{17} or $S(O)_nR^9$; p is 0-4; and J , R^3 , R^4 , R^7 , R^8 , R^9 , R^{11} , R^{17} , R^{18} , R^{19} and n are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of Formula (I).

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TITLE

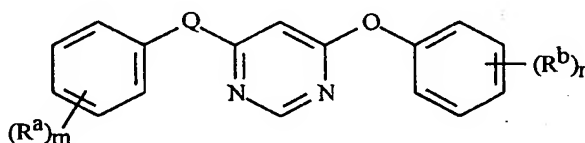
PHENOXYPYRIMIDINE INSECTICIDES AND ACARICIDES

BACKGROUND OF THE INVENTION

This invention relates to certain phenoxyypyrimidines, their *N*-oxides, agriculturally
 5 suitable salts and compositions, and methods of their use as arthropodicides in both
 agronomic and nonagronomic environments.

The control of arthropod pests is extremely important in achieving high crop
 efficiency. Arthropod damage to growing and stored agronomic crops can cause significant
 reduction in productivity and thereby result in increased costs to the consumer. The control
 10 of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and
 fiber products, livestock, household, and public and animal health is also important. Many
 products are commercially available for these purposes, but the need continues for new
 compounds which are more effective, less costly, less toxic, environmentally safer or have
 different modes of action.

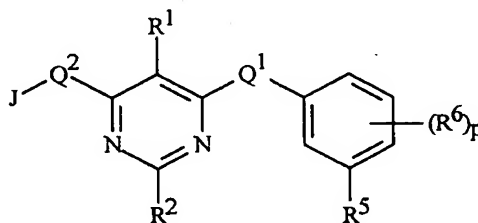
15 4,6-Diphenoxypyrimidines (Q is O in the formula below) are disclosed in US Patent
 5,707,995 as insecticides and acaricides. 4-Anilino-6-phenoxyypyrimidines (Q is NH in the
 formula below) are disclosed (WO 98/12184 and WO 98/54154) as insecticides and
 acaricides. JP99/269154 discloses phenoxyypyrimidines wherein Q in the formula below can
 be certain nitrogen-containing groups.



20 The phenoxyypyrimidines of the present invention are not disclosed in these
 publications.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula I, including all geometric and
 25 stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions
 containing them and their use as arthropodicides:

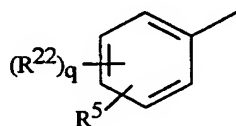


wherein

Q^1 is O, S, NR^{17} , $OCR^{18}R^{19}$, or $NR^{17}CR^{18}R^{19}$; wherein when Q^1 is $OCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$, then Q^1 is attached to the pyrimidine through the O or N atom respectively;

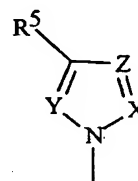
5 Q^2 is S, CR^3R^4 , $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$; wherein when Q^2 is $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$ then Q^2 is attached to the pyrimidine through the O, S or N atom respectively;

J is



J¹

or



J²

10 X, Y and Z are each independently N or CR^{22} ;

R^1 and R^2 are each independently H, C_1 - C_4 alkyl, halogen, NR^7R^8 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkylthio or nitro;

R^3 and R^4 are each independently H, halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl or cyano; or R^3 and R^4 are taken together with the attached carbon to make a carbonyl;

15 each R^5 is independently H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, SF_5 , $S(O)_nR^9$, cyano or CO_2R^{11} ;

each R^6 and each R^{22} is independently H, halogen, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 alkoxy, NR^{17} or $S(O)_nR^9$;

20 R^7 and R^8 are each independently H, C_1 - C_4 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, COR^{10} , CO_2R^{11} , CHO, SO_2R^{12} or OR^{13} ;

each R^9 is independently C_1 - C_4 alkyl or C_1 - C_4 haloalkyl;

each R^{10} is independently C_1 - C_6 alkyl, phenyl optionally substituted by R^6 , C_1 - C_6 haloalkyl, CO_2R^{14} , C_1 - C_6 alkoxyalkyl, C_2 - C_4 alkenyl, C_2 - C_6 alkynyl, C_2 - C_6 cyanoalkyl or $NR^{15}R^{16}$;

25 R^{11} and R^{12} are each independently C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkenyl or C_2 - C_6 alkynyl;

R^{13} and R^{17} are each independently C_1 - C_4 alkyl, H, COR^{10} or CO_2R^{11} ;

each R^{14} is independently C_1 - C_4 alkyl;

30 each R^{15} is independently H, C_1 - C_4 alkyl, C_1 - C_4 alkoxy or phenyl optionally substituted by R^6 ;

R^{16} and R^{19} are each independently H or C_1 - C_4 alkyl;

each R¹⁸ is independently H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cyano;

n is 0-2;

p is 0-4; and

5 q is 0-4;

provided that when Q² is NR¹⁷CR¹⁸R¹⁹, then J is J².

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. "Alkenyl" includes
10 straight-chain or branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as
15 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Cyanoalkyl" denotes an alkyl group substituted with one cyano group. Examples of
20 "cyanoalkyl" include NCCH₂, NCCH₂CH₂ and CH₃CH(CN)CH₂. The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F₃C, ClCH₂, CF₃CH₂ and CF₃CCl₂. The term
25 "haloalkoxy" is defined analogously to the term "haloalkyl".

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 6. For example, C₁-C₄ alkyl designates methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl isomers. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are
30 attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, said substituents (when they exceed 1) are independently selected from the group of defined substituents. Further, when the subscript
35 indicates a range, e.g. (R)_{i-j}, then the number of substituents may be selected from the integers between i and j inclusive.

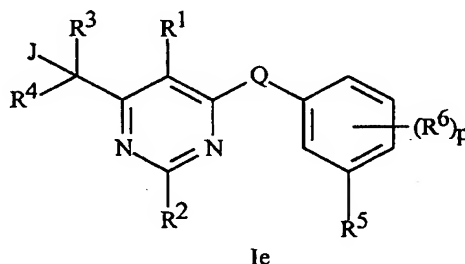
When a group contains a substituent which can be hydrogen, for example R¹ or R⁶, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a carboxylic acid or phenol.

Of note are compounds of Formula Ie, including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as arthropodicides:



5 wherein

Q is O, S or NR¹⁷;

R¹ and R² are each independently H, C₁-C₄ alkyl, halogen, NR⁷R⁸, C₁-C₄ alkoxy, C₁-C₄ haloalkyl, C₁-C₄ alkylthio or nitro;

10 R³ and R⁴ are each independently H, halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkyl or cyano; or R³ and R⁴ are taken together with the attached carbon to make a carbonyl;

each R⁵ is independently halogen, C₁-C₄ alkyl, C₁-C₄ haloalkoxy, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, SF₅, S(O)_nR⁹, cyano or CO₂R¹¹;

15 each R⁶ is independently H, halogen, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkoxy, or S(O)_nR⁹;

R⁷ and R⁸ are each independently H, C₁-C₄ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, COR¹⁰, CO₂R¹¹, CHO, SO₂R¹² or OR¹³;

each R⁹ is independently C₁-C₄ alkyl or C₁-C₄ haloalkyl;

20 each R¹⁰ is independently C₁-C₆ alkyl, phenyl optionally substituted by R⁶, C₁-C₆ haloalkyl, CO₂R¹⁴, C₁-C₆ alkoxyalkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₂-C₆ cyanoalkyl or NR¹⁵R¹⁶;

R¹¹ and R¹² are each independently C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl;

R¹³ and R¹⁷ are each independently C₁-C₄ alkyl, H, COR¹⁰ or CO₂R¹¹;

25 each R¹⁴ is independently C₁-C₄ alkyl;

each R¹⁵ is independently H, C₁-C₄ alkyl, C₁-C₄ alkoxy or phenyl optionally substituted by R⁶;

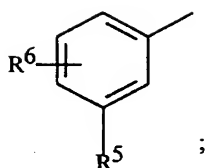
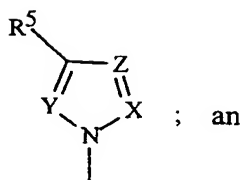
each R¹⁶ is independently H or C₁-C₄ alkyl;

n is 0-2;

30 p is 0-4;

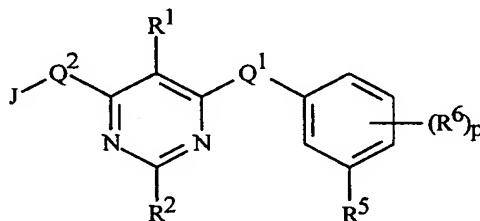
J is J¹ or J²;

6

J¹ isJ² is

5 X, Y and Z are each independently N or CR⁶.

Also of note are compounds of Formula If, including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as arthropodocides:



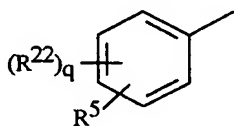
If

10 wherein

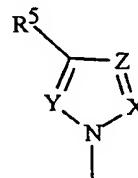
Q¹ is O, S, NR¹⁷, OCR¹⁸R¹⁹, or NR¹⁷CR¹⁸R¹⁹; wherein when Q¹ is OCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹, then Q¹ is attached to the pyrimidine through the O or N atom respectively;

15 Q² is S, CR³R⁴, OCR¹⁸R¹⁹, SCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹; wherein when Q² is OCR¹⁸R¹⁹, SCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹ then Q² is attached to the pyrimidine through the O, S or N atom respectively;

J is

J¹

or

J²

X, Y and Z are each independently N or CR²²;

R¹ and R² are each independently H, C₁-C₄ alkyl, halogen, NR⁷R⁸, C₁-C₄ alkoxy, C₁-C₄ haloalkyl, C₁-C₄ alkylthio or nitro;

5 R³ and R⁴ are each independently H, halogen, hydroxy, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkyl or cyano; or R³ and R⁴ are taken together with the attached carbon to make a carbonyl;

each R⁵ is independently H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkoxy, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, SF₅, S(O)_nR⁹, cyano or CO₂R¹¹;

10 each R⁶ and each R²² is independently H, halogen, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkoxy, NR¹⁷ or S(O)_nR⁹;

R⁷ and R⁸ are each independently H, C₁-C₄ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, COR¹⁰, CO₂R¹¹, CHO, SO₂R¹² or OR¹³;

each R⁹ is independently C₁-C₄ alkyl or C₁-C₄ haloalkyl;

15 each R¹⁰ is independently C₁-C₆ alkyl, phenyl optionally substituted by R⁶, C₁-C₆ haloalkyl, CO₂R¹⁴, C₁-C₆ alkoxyalkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₂-C₆ cyanoalkyl or NR¹⁵R¹⁶;

R¹¹ and R¹² are each independently C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl;

R¹³ and R¹⁷ are each independently C₁-C₄ alkyl, H, COR¹⁰ or CO₂R¹¹;

20 each R¹⁴ is independently C₁-C₄ alkyl;

each R¹⁵ is independently H, C₁-C₄ alkyl, C₁-C₄ alkoxy or phenyl optionally substituted by R⁶;

R¹⁶ and R¹⁹ are each independently H or C₁-C₄ alkyl;

25 each R¹⁸ is independently H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cyano;

n is 0-2;

p is 0-4; and

q is 0-4.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

30 Preferred 1. Compounds of Formula I above, *N*-oxides and agriculturally suitable salts thereof, wherein:

J is J¹;

R¹ and R² are H;

R⁵ is C₁-C₂ haloalkyl;

35 each R⁶ and each R²² is halogen; and

R³ and R⁴ are either each H or taken together with the attached carbon as a carbonyl.

Preferred 2. Compounds of Formula I above, *N*-oxides and agriculturally suitable salts thereof, wherein:

J is J²;

R¹ and R² are H;

5 R⁵ is C₁ to C₂ haloalkyl;

each R⁶ and each R²² is halogen;

R³ and R⁴ are each H; and

Y is N, X is CH and Z is CR²².

Most preferred are compounds of Preferred 1 selected from the group:

- 10 4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]pyrimidine;
4-[4-chloro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]pyrimidine;
[6-[4-fluoro-3-(trifluoromethyl)phenoxy]-4-pyrimidinyl][4-fluoro-3-
15 (trifluoromethyl)phenyl]methanone;
4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-[3-(trifluoromethyl)-phenyl]methoxypyrimidine;
4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-5-pyrimidinamine;
20 4-[(3,4-difluorophenyl)methoxy]-6-[4-fluoro-3-(trifluoromethyl)phenoxy]pyrimidine and
4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[(4-fluorophenyl)thio]pyrimidine.

This invention also relates to arthropodicidal compositions comprising arthropodically effective amounts of the compounds of the invention and at least one of a
25 surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds.

This invention also relates to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of the compounds of the invention (e.g., as a composition described herein). The preferred
30 methods of use are those involving the above preferred compounds.

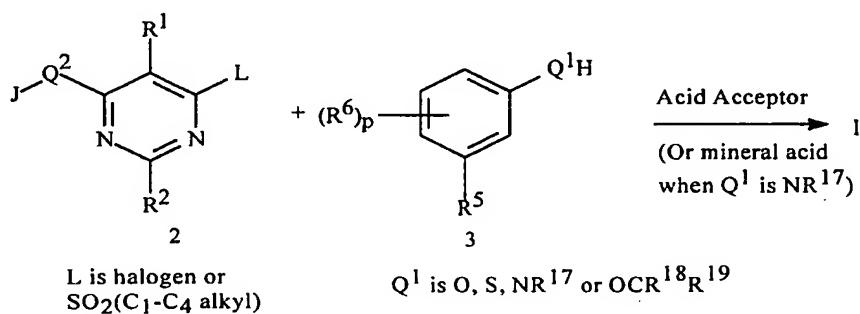
DETAILS OF THE INVENTION

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-10. The definitions of J, Q¹, Q², R¹ through R²², X, Y, Z, n and p in the compounds of Formulae 1-15 below are as defined
35 above in the Summary of the Invention. Compounds of Formulae Ia-Ic are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-Ic are as defined above for Formula I.

Scheme 1 illustrates the preparation of compounds of Formula I by displacement of a pyrimidine of Formula 2 with a nucleophile of Formula 3 in the presence of a base.

Pyrimidines of Formula 2 in which L is a halogen or sulfone react with nucleophiles of Formula 3 in the presence of a variety of acid acceptors and in a variety of solvents to give the desired compounds of Formula I. Preferred acid acceptors include alkali carbonates, hydroxides and hydrides with potassium carbonate being the most preferred acid acceptor. Many solvents are acceptable such as acetone, methylethylketone, acetonitrile, dimethylformamide, dimethylacetamide, and dimethylsulfoxide. In some instances aqueous solvents or lower alcohols may be used. The reaction may be run at temperatures from 0 to 150 °C with temperatures from 20 to 80 °C being preferred. When Q¹ is NR¹⁷ the reaction may also be run in acidic media such as aqueous mineral acids or homogeneous mixtures of aqueous acids with miscible organic solvents. A preferred method for using anilines (Formula 3 wherein Q¹ is NR¹⁷) is to carry out the reaction in aqueous acetone containing hydrochloric acid.

Scheme 1

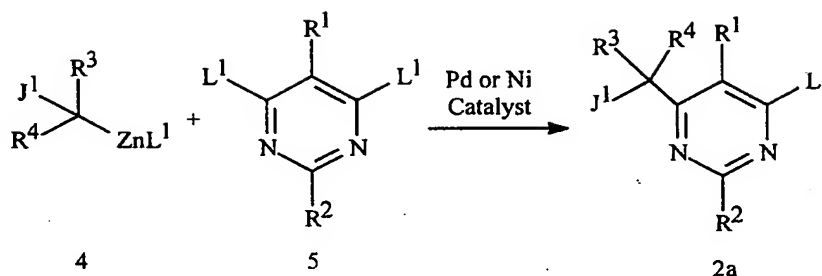


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As shown in Scheme 2, compounds of Formula 2a (compounds of Formula 2 wherein J is J¹ and Q² is CR³R⁴) can be readily prepared by the coupling of a zinc species of Formula 4 with a halogenopyrimidine of Formula 5. The coupling reaction can be catalyzed by either nickel or palladium complexes. The preferred complexes for this transformation are tetrakis(triphenylphosphine)palladium and dichloro-bis(triphenylphosphine)palladium. The catalyst may be present in an amount from 0.5-10% relative to the pyrimidine and zinc reagent. The reaction may be run in a variety of solvents with aprotic solvents such as acetonitrile, dimethylformamide, and tetrahydrofuran being preferred. The reaction, depending upon the substituents on the pyrimidine ring, may be done at temperatures ranging from 20 to 120 °C. Compounds of Formula 5 are generally commercially available or can be made by known methods (see D. J. Brown in *The Pyrimidines* in E. C. Taylor, editor, *The Chemistry of Heterocyclic Compounds*, Vol 16, 1957,; Supplement I, 1967 and Supplement II, 1985; Wiley, New York).

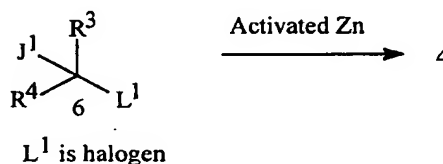
25

10

Scheme 2Each L¹ is independently halogen

Scheme 3 illustrates the synthesis of zinc reagents of Formula 4 from halogenated methylbenzenes of Formula 6. The substituted halide of Formula 6 is reacted with activated zinc (see Jubert and Knochel, *J. Org. Chem.* **1992**, *57*, p 5425 and Knochel *et. al.*,

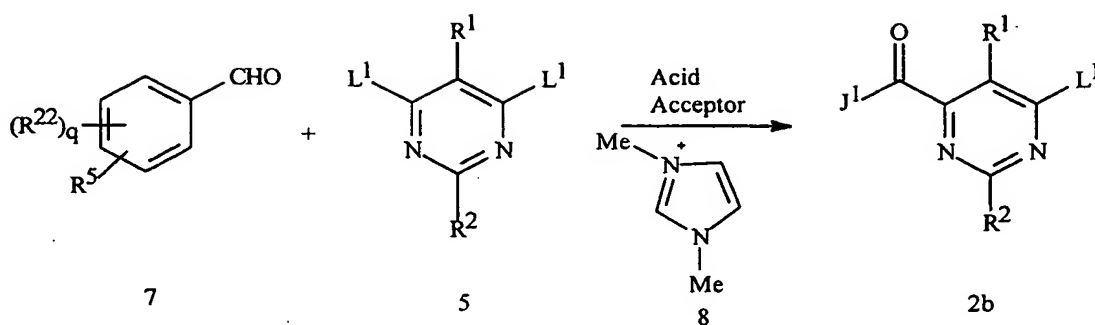
- 5 *Tetrahedron* **1998**, *54*, p 8275) in a suitable solvent such as tetrahydrofuran, acetonitrile, *N,N*-dimethylformamide, dimethoxyethane or other aprotic solvent. Reaction temperatures may range from 0 to 80 °C.

Scheme 3

- Compounds of Formula 2b (compounds of Formula 2 wherein Q² is C(=O), J is J¹ and L¹ is halogen) can be prepared by the condensation of pyrimidines of Formula 5 and aldehydes of Formula 7 in the presence of an imidazolium catalyst of Formula 8 as shown in Scheme 4. This reaction is carried out in the presence of a strong base such as an alkali hydride, preferably sodium hydride, in solvents such as dichloromethane, dioxane, tetrahydrofuran, benzene, toluene or other aprotic solvent. The reaction may be carried out
- 15 at temperatures between 0 and 120 °C. A wide variety of azolium salts catalyze this transformation and a number are described by Miyashita (*Heterocycles*, **1996**, *43*, 509-512 and references cited therein). A preferred catalyst is 1,3-dimethylimidazolium iodide which may be present in a 10 to 100% catalyst load.

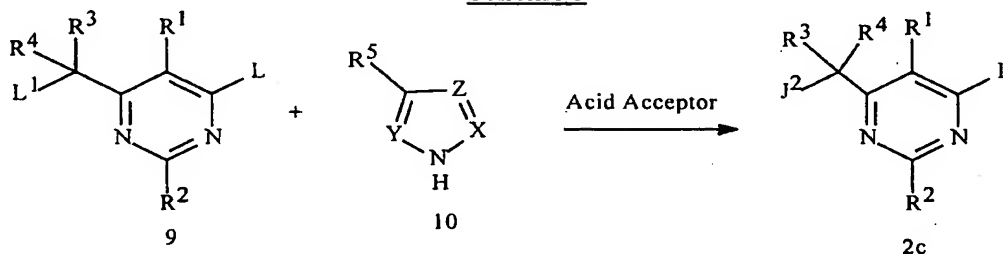
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Scheme 4

Each L^1 is independently halogen

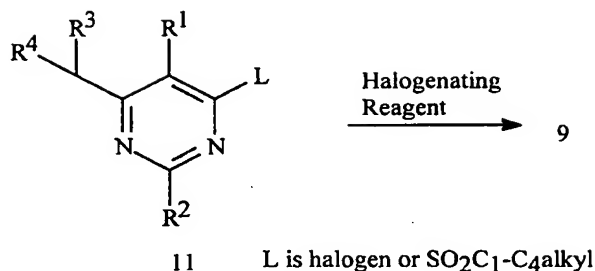
As shown in Scheme 5, compounds of Formula 2c (compounds of Formula 2 wherein J is J^2 and Q^2 is CR^3R^4) may be prepared by the reaction of a pyrimidine of Formula 9 with a heterocycle of Formula 10 in the presence of an acid acceptor. Preferred acid acceptors are alkali carbonates, hydroxides and hydrides with potassium carbonate being the most preferred acid acceptor. Many solvents are useful such as acetone, methylethylketone, acetonitrile, dimethylformamide, dimethylacetamide, and dimethylsulfoxide. In some instances aqueous solvents or lower alcohols may be used. The reaction may be run at temperatures from 0 to 150 °C with temperatures from 20 to 80 °C being preferred.

Scheme 5

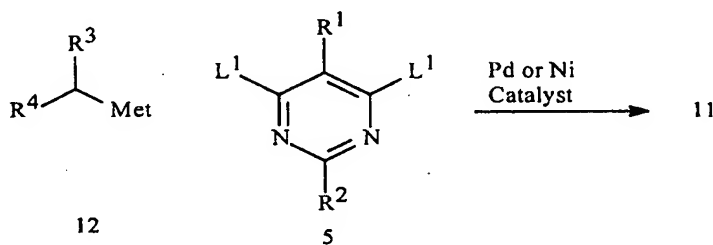
 L^1 is halogen L is halogen or $SO_2C_1-C_4$ alkyl

Pyrimidines of Formula 9 may be prepared according to Scheme 6. Treatment of pyrimidines of Formula 11 with a suitable halogenating reagent gives the pyrimidine of Formula 9. Preferred halogenating agents include bromine, *N*-bromosuccinimide, sulfonyl chloride, and *N*-chlorosuccinimide. In the case of bromine the preferred solvents are lower carboxylic acids such as acetic acid. Polar aprotic solvents such as dimethylformamide are preferred in reactions involving *N*-halosuccinimides. The halogenation may be carried out at temperatures ranging from 20 to 120 °C.

12

Scheme 6

Many compounds of Formula 11 are known in the art and others as illustrated by Scheme 7 can be prepared by the reaction of known organometallic species of Formula 12 with pyrimidines of Formula 5. The coupling reaction can be catalyzed by either nickel or palladium complexes. The preferred complexes for this transformation are tetrakis(triphenylphosphine)palladium and dichloro-bis(triphenylphosphine)palladium. The catalyst may be present in an amount from 0.5-10 % relative to the pyrimidine and zinc reagent. The reaction may be run in a variety of solvents with aprotic solvents such as acetonitrile, dimethylformamide, and tetrahydrofuran being preferred. The reaction depending upon the substituents on the pyrimidine ring may be done at temperatures ranging from 20 to 120 °C.

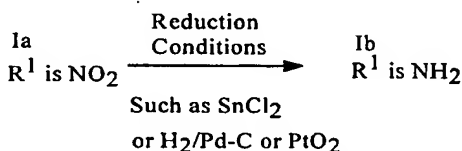
Scheme 7

Met is Mg, Li, Zn, Sn
Each L¹ is independently halogen

As indicated in Scheme 8, compounds of Formula Ib (compounds of Formula I in which R¹ is NH₂) may be synthesized by reduction of nitropyrimidines of Formula Ib (compounds of Formula I in which R¹ is NO₂.) The reduction of nitro groups to amines is well known in the art and can be accomplished by many reagents and techniques as illustrated by Larock, *Comprehensive Organic Transformations*, VCH, 1989, p 411 to 417 and March *Advanced Organic Chemistry*, Wiley, 1992, 1216-18 and 1232-33. In the present example the preferred technique is catalytic hydrogenation using palladium on carbon or platinum oxide as catalyst. A wide variety of ethers, amides, alcohols and esters can be used

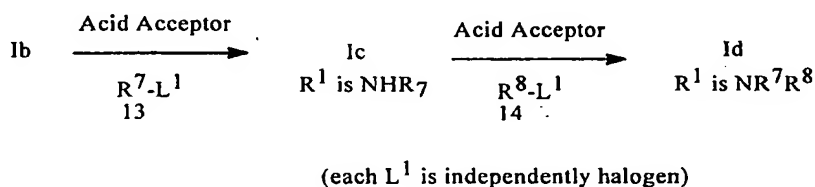
as solvents in this process with tetrahydrofuran or ethyl acetate being preferred. This transformation can be carried out at temperatures from 0 to 100 °C, with 20-30 °C being preferred, under 1-100 atmospheres of hydrogen. Another useful method is to use tin (II) chloride as the reductant in ethyl acetate or ethanol as solvent. This reaction can be carried out at temperatures between 0 and 80 °C.

Scheme 8



Compounds of Formula Ic (compounds of Formula I in which R¹ is NR⁷R⁸) can be made as indicated in Scheme 9. Compounds of Formula Ib may be alkylated, acylated or sulfonylated by alkyl halides, acyl halides or sulfonyl halides of Formula 13, respectively, in the presence of an acid acceptor. The reaction may be carried out with an excess of the compound of Formula 13 to yield compounds of Formula Id where R⁷ and R⁸ are the same. It also may be carried out in a stepwise manner to yield first a compound of Formula Ic in which R¹ is NR⁷R⁸, R⁸ is hydrogen, and R⁷ is other than hydrogen. In a second iteration of the process a second compound of Formula 14 may be reacted to yield the compound of Formula Id where R¹ is NR⁷R⁸ and both R⁷ and R⁸ are other than hydrogen. Preferred acid acceptors are tertiary amines, alkali carbonates, hydroxides and hydrides with potassium carbonate and triethylamine being the most preferred acid acceptors. Many solvents are acceptable such as acetone, methyl ethylketone, acetonitrile, dimethylformamide, dimethylacetamide, and dimethylsulfoxide. In some instances aqueous solvents or lower alcohols may be used. The reaction may be run at temperatures from 0 to 150 °C with temperatures from 20 to 80 °C being preferred.

Scheme 9

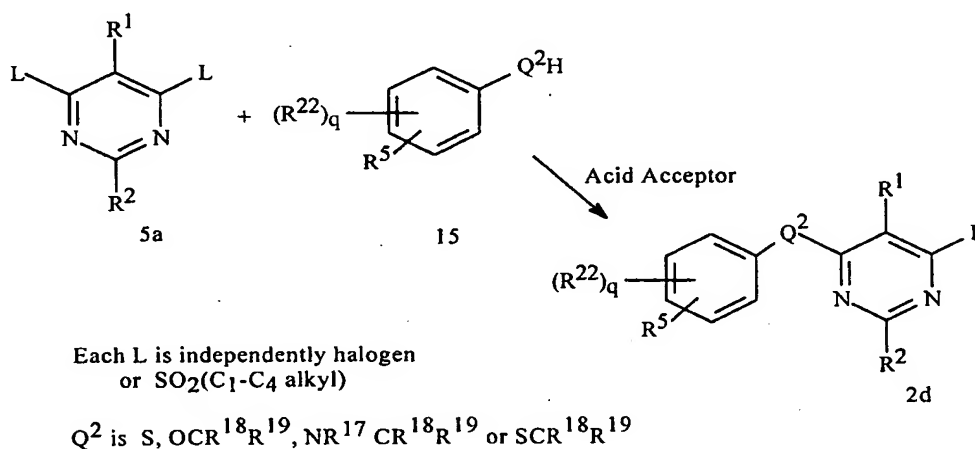


Scheme 10 illustrates the preparation of compounds of Formula 2d by displacement of a pyrimidine of Formula 5a with a nucleophile of Formula 15 in the presence of a base. Pyrimidines of Formula 5a in which L is a halogen or sulfone react with nucleophiles of

Formula 15 in the presence of a variety of acid acceptors and in a variety of solvents to give the desired compounds of Formula 2d. Preferred acid acceptors include alkali carbonates, hydroxides, alkoxides and hydrides with potassium carbonate being the most preferred acid acceptor. Organic tertiary amines such as triethylamine are also acceptable bases for this reaction.

Many solvents are acceptable such as acetone, methylethylketone, acetonitrile, dimethylformamide, dimethylacetamide, and dimethylsulfoxide. In some instances aqueous solvents or lower alcohols may be used. The reaction may be run at temperatures from 0 to 150 °C with temperatures from 20 to 80 °C being preferred. When Q² is OCR¹⁸R¹⁹ or SCR¹⁸R¹⁹ the preferred bases are alkali hydrides such as sodium hydride or alkali alkoxides such as potassium t-butoxide. In these cases solvents such as dimethylformamide, dimethylacetamide, and tetrahydrofuran are preferred. When Q² is NR¹⁷CR¹⁸R¹⁹ the preferred bases are tertiary amines with triethylamine being the most preferred.

Scheme 10



It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

- 5 Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic
10 solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1

Preparation of 4-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-6-[3-(trifluoromethyl)phenoxy]pyrimidine

15

Step A: Preparation of 4-chloro-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]pyrimidine

- Zinc powder (1.3 g, 20 mmol) was suspended in tetrahydrofuran (10 mL) and treated with dibromoethane (2 drops) and heated at reflux for 5 minutes. Trimethylsilyl chloride
20 (2 drops) was added and the reaction was heated at reflux for 5 minutes. 4-Fluoro-3-trifluoromethylbenzyl bromide (2.5 g, 10 mmol) in tetrahydrofuran (20 mL) was then added dropwise with a corresponding exotherm slowly to reflux during the addition. The mixture was heated at reflux for 20 minutes more. The zinc was allowed to settle and the supernatant amount was drawn into a syringe and transferred to a solution of 4,6-dichloropyrimidine
25 (1.49 g, 10 mmol) and dichloro-bis(triphenylphosphine)palladium (100 mg, 0.14 mmol) dissolved in tetrahydrofuran (10 mL). The reaction mixture was heated at reflux for 3 hours and allowed to stir at 25 °C overnight. The mixture was diluted with water (50 mL) and extracted with ethyl acetate (2 X 50 mL). The combined extracts were dried over magnesium sulfate. The residue was subjected to chromatography on silica gel using
30 hexanes/ethyl acetate (9:1) as eluent. Appropriate fractions were pooled to give the titled compound of Step A (0.75 g) as an oil. ¹H NMR (CDCl₃), δ 4.2 (s, 2H), 7.15-7.25 (m, 2H), 7.42-7.55 (m, 2H), 8.95 (s, 1H).

- Step B: Preparation of 4-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-6-[3-(trifluoromethyl)phenoxy]pyrimidine

35

The title compound of Step A (0.38 g, 1.3 mmol) was dissolved in acetonitrile (25 mL) and treated with 3-trifluoromethylphenol (0.18 g, 1.1 mmol) followed by potassium carbonate (0.7 g, 5 mmol). The mixture was heated at reflux for 6 hours and then filtered.

The residue was subjected to chromatography on silica gel using hexanes/ethyl acetate (85:15) as eluent. Appropriate fractions were pooled to give 4-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-6-[3-(trifluoromethyl)phenoxy]pyrimidine, a compound of the invention (0.38 g) as an oil. ¹H NMR (CDCl₃), δ 4.11 (s,2H), 6.75 (s,1H), 7.18 (t,1H), 7.28-7.38 (m,1H), 7.42 (s,1H), 7.43-7.60 (m,2H), 8.70 (s,1H).

EXAMPLE 2

Preparation of [6-[3-(trifluoromethyl)phenoxy]-4-pyrimidinyl][3-(trifluoromethyl)phenyl]methanone

Step A: Preparation of (6-chloro-4-pyrimidinyl)[3-(trifluoromethyl)phenyl]methanone

10 A solution of 4,6-dichloropyrimidine (3.3 g, 21.4 mmol), 3-trifluoromethylbenzaldehyde (5.0 g, 27.8 mmol), and 1,3-dimethylimidazolium iodide (2.07 g, 9.3 mmol) in dichloromethane (40 mL) was treated with sodium hydride (60% in mineral oil, 1.11 g, 27.8 mmol). The mixture exothermed to reflux after this addition. The mixture was then heated at reflux for 3 hours. The mixture was diluted with

15 dichloromethane (50 mL) and washed with water (50 mL) followed by saturated sodium chloride solution (50 mL). The dichloromethane solution was dried over magnesium sulfate and evaporated under reduced pressure. The residue was stirred with hexanes (50 mL) and filtered. The filtrate was evaporated and subjected to chromatography on silica gel using hexanes/ethyl acetate (85:15) as eluent. Appropriate fractions were pooled and evaporated.

20 The residue was washed with hexanes (10 mL) to give the titled compound of Step A as an oil (0.5 g) ¹H NMR (CDCl₃), δ 7.67 (t,1H), 7.95 (d,1H), 8.03 (s,1H), 8.35 (d,1H), 8.45 (s,1H), 9.18 (s, 1H).

Step B: Preparation of [6-[3-(trifluoromethyl)phenoxy]-4-pyrimidinyl][3-(trifluoromethyl)phenyl]methanone

25 The title compound of Step A (0.40 g, 1.4 mmol) was dissolved in acetonitrile (15 mL) and treated with 3-trifluoromethylphenol (0.2 g, 1.2 mmol) followed by potassium carbonate (0.59 g, 5 mmol). The mixture was stirred at room temperature overnight. The solid was removed by filtration and the filtrate was evaporated. The residue was subjected to column chromatography on silica gel using hexanes/ethyl acetate (85:15) as eluent to give

30 [6-[3-(trifluoromethyl)phenoxy]-4-pyrimidinyl][3-(trifluoromethyl)phenyl]methanone, a compound of the invention (0.30 g) as an oil. ¹H NMR (CDCl₃) δ 7.38-7.45 (m,1H), 7.49 (s,1H), 7.61 (d,3H), 7.62-7.72 (m,1H), 7.90 (d,1H), 8.38 (d,1H), 8.44 (s,1H), 8.92 (s,1H).

EXAMPLE 3

Preparation of N-[4-fluoro-3-(trifluoromethyl)phenyl]-6-[[3-(trifluoromethyl)phenyl]methyl]-4-pyrimidinamine

35 4-Chloro-6-(3-trifluoromethylbenzyl)pyrimidine (0.65 g, 2.4 mmol), prepared by the same procedure as Example 1, Step A by using 3-trifluoromethylbenzyl bromide in place of

4-fluoro-3-trifluoromethylbenzyl bromide, was combined with acetone (50 mL) and water (50 mL). 4-Fluoro-3-trifluoromethylaniline (0.42 g, 2.4 mmol) and concentrated hydrochloric acid (0.5 mL) were added and the mixture was heated at reflux for 16 h. The cooled reaction mixture was made basic by the addition of concentrated ammonium hydroxide and concentrated under reduced pressure. The residue was partitioned between diethyl ether (50 mL) and water (50 mL). The organic layer was washed with saturated aqueous sodium chloride solution (50 mL), dried over magnesium sulfate, and concentrated under reduced pressure to provide an oil which upon standing gave the titled compound, a compound of the invention as a solid (0.9 g), m.p. 102-104 °C. ¹H NMR (CDCl₃) δ 4.03 (s, 2H), 6.32 (s, 1H), 6.78 (s, 1H), 7.20 (m, 1H), 7.43-7.57 (m, 4H), 7.58-7.68 (m, 2H), 8.65 (s, 1H).

EXAMPLE 4

Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-[3-(trifluoromethyl)-phenyl]methoxypyrimidine

15 Step A: Preparation of 4-chloro-6-[3-(trifluoromethyl)phenyl]methoxypyrimidine

To a solution of 4,6-dichloropyrimidine (2.0 g, 13 mmol) and 3-(trifluoromethyl)benzyl alcohol (2.0 g, 11 mmol) stirring in 20 mL of tetrahydrofuran, sodium hydride (0.5 g, 60% in oil) was added with foaming. After stirring at room temperature overnight, the reaction mixture was partitioned between 100 mL of ethyl acetate and 30 mL of water. The separated organic layer was washed twice with water and brine, dried over magnesium sulfate, and evaporated *in vacuo* to an oily residue. Purification by flash chromatography on silica gel afforded 2.5 g of the titled compound, isolated as an oil. ¹H NMR (CDCl₃): δ 8.60 (s, 1H), 7.70 (s, 1H), 7.65-7.45 (m's, 3H), 6.86 (s, 1H), 5.5 (s, 2H).

25 Step B: Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-[3-(trifluoromethyl)-phenyl]methoxypyrimidine

A stirred mixture of 4-chloro-6-[3-(trifluoromethyl)phenyl]methoxypyrimidine (700 mg, 2.4 mmol), 4-fluoro-3-(trifluoromethyl)phenol (500 mg, 2.8 mmol) and powdered potassium carbonate (1.0 g, 7.2 mmol) was heated in 8 mL of *N,N*-dimethylformamide at 80 °C for 4 hours. The reaction mixture was partitioned between 75 mL of diethyl ether and 50 mL of water. The organic layer was separated, washed with water and brine and dried over magnesium sulfate. An oily residue was obtained after removing the solvent *in vacuo*. Purification by flash chromatography on silica gel afforded 715 mg of the titled compound, isolated as an oil. ¹H NMR (CDCl₃): δ 8.44 (s, 1H), 7.71 (s, 1H), 7.65-7.20 (m's, 6H), 6.32 (s, 1H), 5.51 (s, 2H).

EXAMPLE 5Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-5-pyrimidinamineStep A: Preparation of 4-chloro-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-5-nitropyrimidine

5 Zinc powder (6.5 g, 100 mmol) in tetrahydrofuran (50 mL) was treated with dibromoethane (3 drops) and heated briefly to reflux. Upon cooling trimethylsilyl chloride (3 drops) was added and the mixture was heated at reflux briefly. After the mixture had cooled to 45 °C 4-fluoro-3-trifluoromethylbenzyl bromide (5.23 g, 20 mmol) dissolved in
10 tetrahydrofuran (10 mL) was added dropwise. The addition caused an exotherm to reflux. After heating for 1 h at reflux the reaction was cooled to room temperature. The excess zinc was removed by centrifugation under an inert atmosphere. The supernate was added to a solution of 4,6-dichloro-5-nitropyrimidine (5.81 g, 30 mmol) dissolved in tetrahydrofuran (50 mL). Dichloro-bis-(triphenylphosphine) palladium (150 mg) was added as catalyst and
15 the mixture was heated to reflux for 1 h. After stirring at 25 °C overnight the mixture was evaporated to dryness and subjected to column chromatography on silica gel using hexanes/ethyl acetate (1:1) as eluent. The titled compound (1.0 g) was isolated as an oil. ¹H NMR (CDCl₃): δ 4.13 (s, 2H), 7.17 (m, 1H), 7.40-7.52 (m, 1H), 7.57 (d, 1H), 9.0 (s, 1H).

Step B: Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-5-pyrimidinamine

20 The compound of step A (0.65 g, 1.3 mmol) and 4-fluoro-3-trifluoromethylphenol (0.27 g, 1.5 mmol) were dissolved in tetrahydrofuran (15 mL) and treated with resin-supported 1,5,7-triazabicyclo[4.4.0]-dec-5-ene (Fluka, 2.2 mmol/g, 1.5 g, 3.3 mmol). After stirring at 25 °C for 16 h the mixture was filtered and the solvent removed under reduced
25 pressure. The residue was suspended in acetic acid (3 mL) and water (15 mL). The mixture was heated to 50 °C and iron powder 0.3 g was added. The mixture was heated at near reflux for 3 hours and then filtered through celite. The celite was washed with dichloromethane (200 mL). The organic layer was washed with saturated aqueous sodium bicarbonate solution (50 mL) and dried over magnesium sulfate. The solvent was removed
30 under reduced pressure and the residue subjected to column chromatography on silica gel using hexanes/ethyl acetate (7:3) as eluent. The titled compound (0.10 g) was isolated as an oil. ¹H NMR (CDCl₃): δ 3.83 (s, 2H), 4.20 (s, 2H), 7.17 (m, 1H), 7.2-7.58 (m, 5H), 8.19 (s, 1H).

EXAMPLE 6Preparation of 4-[[4-(4-chlorophenyl)methyl]thio]-6-[4-fluoro-3-(trifluoromethyl)phenoxy]pyrimidineStep A: Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-methylthiopyrimidine

5 A solution of 4-chloro-6-methylthiopyrimidine (1.08 g, 6.8 mmol) in dimethylformamide was treated with potassium carbonate (2.8 g, 20 mmol) and 4-fluoro-3-trifluorophenol (1.2 g, 6.6 mmol) and was heated at 90 °C for 5 h. The mixture was added to ice water (40 mL) and then filtered and washed with water to give the titled compound of
10 step A (1.8 g). M.P. : 85-87 °C. ¹H NMR (CDCl₃): δ 8.54 (s, 1H), 7.2-7.5 (m, 3H), 6.78 (s, 1H), 2.59 (s, 3H).

Step B: Preparation of 4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-methylsulfonylpyrimidine

15 The compound of step A (2.5 g, 5 mmol) dissolved in dichloromethane (50 mL) was treated with m-chloroperbenzoic acid (64%, 6.4 g, 24 mmol) and stirred at 25 °C for 3h. The organic layer was diluted with dichloromethane (100 mL) and washed with saturated aqueous NaHCO₃ solution (200 mL). The organic layer was dried over magnesium sulfate and evaporated to give the titled compound of step B (2.3 g). ¹H NMR (CDCl₃): δ 8.9 (s, 1H), 7.7 (s, 1H), 7.5-7.2 (m, 3H), 3.3 (s, 3H).

Step C: Preparation of 4-[[4-(4-chlorophenyl)methyl]thio]-6-[4-fluoro-3-(trifluoromethyl)phenoxy]pyrimidine

20 The compound of Step B (0.67 g, 2 mmol) was dissolved in dimethylformamide (5 mL) and treated with 4-chlorobenzylmercaptan (0.32 g, 1.8 mmol) and potassium carbonate (0.7 g, 5 mmol). The mixture was stirred at 25 °C for 24h and partitioned between water (50 mL) and ether (50 mL). The ether was dried over magnesium sulfate and evaporated. The
25 residue was subjected to column chromatography on silica gel with hexanes/ethyl acetate (9:1) as eluent. Pooling appropriate fractions provided the titled compound, a compound of the invention, (0.46 g) as a white solid. M.P.: 66-69 °C; ¹H NMR (CDCl₃): δ 8.57 (s, 1H), 7.2-7.5 (m, 7H), 6.75 (s, 1H), 4.42 (s, 3H).

30 By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 5 can be prepared. The following abbreviations are used in the Tables which follow: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, Bu is butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano,
35 NO₂ is nitro, Hex is hexyl, S(O)Me is methylsulfinyl, S(O)₂Me is methylsulfonyl and Ac is acetyl.

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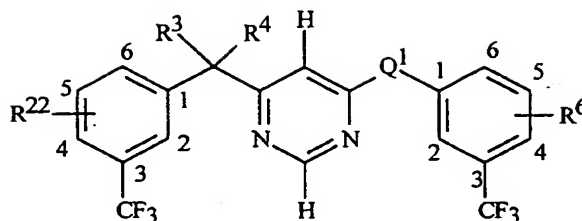


Table1

CR³R⁴ is CH₂, Q¹ is O.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CO, Q¹ is O.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN

4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CF₂, O¹ is O.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CH₂, Q¹ is NH.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F

4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CO, O¹ is NH.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SOMe ₂	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CH₂, O¹ is S.

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃

4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F
4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

CR³R⁴ is CO, Q¹ is S,

<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>	<u>R²²</u>	<u>R⁶</u>
4-F	4-F	4-F	4-F	4-F	5-F	5-F	4-F	4-F	2-F
4-F	4-Cl	4-Cl	4-F	4-F	5-Cl	5-Cl	4-F	4-F	2-Cl
4-F	4-CN	4-CN	4-F	4-F	5-CN	5-CN	4-F	4-F	2-CN
4-F	4-NO ₂	4-NO ₂	4-F	4-F	5-NO ₂	5-NO ₂	4-F	4-F	2-NO ₂
4-F	4-CF ₃	4-CF ₃	4-F	4-F	5-CF ₃	5-CF ₃	4-F	4-F	2-CF ₃
4-F	4-OCF ₃	4-OCF ₃	4-F	4-F	5-OCF ₃	5-OCF ₃	4-F	4-F	2-OCF ₃
4-F	4-Me	4-Me	4-F	4-F	5-Me	5-Me	4-F	4-F	2-Me
4-F	4-OMe	4-OMe	4-F	4-F	5-OMe	5-OMe	4-F	4-F	2-OMe
4-F	4-SMe	4-SMe	4-F	4-F	5-SMe	5-SMe	4-F	4-F	2-SMe
4-F	4-SCF ₃	4-SCF ₃	4-F	4-F	5-SCF ₃	5-SCF ₃	4-F	4-F	2-SCF ₃
4-F	4-SO ₂ Me	4-SO ₂ Me	4-F	4-F	5-SO ₂ Me	5-SO ₂ Me	4-F	4-F	2-SO ₂ Me
4-F	4-Br	4-Br	4-F	4-F	5-Br	5-Br	4-F	4-F	2-Br
4-F	6-F	2-F	4-F	4-F	2,4-diF	6-F	4-F	2,4-diF	4-F
4-F	6-Cl	2-Cl	4-F	4-F	2,4-diCl	6-Cl	4-F	2,4-diCl	4-F
4-F	6-CN	2-CN	4-F	4-F	2,6-diF	6-CN	4-F	2,6-diF	4-F
4-F	6-NO ₂	2-NO ₂	4-F	4-F	4,5-diF	6-NO ₂	4-F	4,5-diF	4-F
4-F	6-CF ₃	2-CF ₃	4-F	4-F	2,6-diCl	6-CF ₃	4-F	2,6-diCl	4-F

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4-F	6-OCF ₃	2-OCF ₃	4-F	4-F	4,5-diCl	6-OCF ₃	4-F	4,5-diCl	4-F
4-F	6-Me	2-Me	4-F	4-F	5,6-diF	6-Me	4-F	5,6-diF	4-F
4-F	6-OMe	2-OMe	4-F	4-F	5,6-diCl	6-OMe	4-F	5,6-diCl	4-F
4-F	6-SMe	2-SMe	4-F	4-F	2,5-diF	6-SMe	4-F	2,5-diF	4-F
4-F	6-SCF ₃	2-SCF ₃	4-F	4-F	2,5-diCl	6-SCF ₃	4-F	2,5-diCl	4-F
4-F	6-SO ₂ Me	2-SO ₂ Me	4-F	4-F	4,6-diF	6-SO ₂ Me	4-F	4,6-diF	4-F
4-F	6-Br	2-Br	4-F	4-F	4,6-diCl	6-Br	4-F	4,6-diCl	4-F

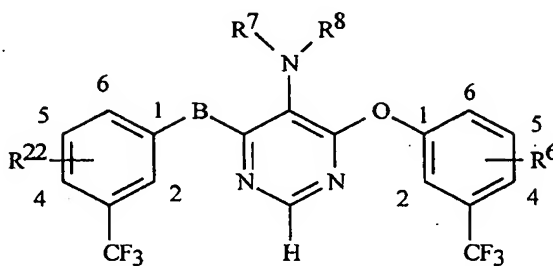


Table 2

B is CH₂, R²² is 4-F, R⁶ is 4-F.

<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>
H	H	H	SO ₂ CF ₃	H	COCO ₂ Me	Me	Me
H	COMe	H	CO- <i>n</i> -Pr	H	COCH ₂ OMe	COMe	COMe
H	COEt	H	CO- <i>i</i> -Pr	H	COCH ₂ CH ₂	COEt	COEt
H	COOMe	H	CO- <i>n</i> -Bu	H	COCH ₂ CN	COMe	COEt
H	SO ₂ Me	H	CO- <i>i</i> -Bu	H	COOCH ₂ CCH	COMe	OMe
H	COPh	H	CO- <i>n</i> -Hex	H	COOCH ₂ CF ₃	CONMe ₂	COMe
H	CHO	H	COOEt	H	OH	SO ₂ Me	COMe
H	CONHMe	H	CONMe ₂	H	OMe	COCF ₃	COCF ₃
H	CONHPh	H	COCF ₃	H	OCOMe	Et	OH
Me	H	Me	SO ₂ CF ₃	Me	COCO ₂ Me	H	Et
Me	COMe	Me	CO- <i>n</i> -Pr	Me	COCH ₂ OMe	H	Allyl
Me	COEt	Me	CO- <i>i</i> -Pr	Me	COCH=CH ₂	H	Propargyl
Me	COOMe	Me	CO- <i>n</i> -Bu	Me	COCH ₂ CN	CONHMe	Et
Me	SO ₂ Me	Me	CO- <i>i</i> -Bu	Me	COOCH ₂ CCH	CONHPh	Et
Me	COPh	Me	CO- <i>n</i> -Hex	Me	COOCH ₂ CF ₃	Et	Et
Me	CHO	Me	COOEt	Me	OH	COPh	COPh
Me	CONHMe	Me	CONMe ₂	Me	OMe	COCO ₂ Me	COMe
Me	CONHPh	Me	COCF ₃	Me	OCOMe	H	OCO ₂ Me

B is CO, R²² is 4-F, R⁶ is 4-F.

<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>
H	H	H	SO ₂ CF ₃	H	COCO ₂ Me	Me	Me
H	COMe	H	CO- <i>n</i> -Pr	H	COCH ₂ OMe	COMe	COMe
H	COEt	H	CO- <i>i</i> -Pr	H	COCH=CH ₂	COEt	COEt
H	COOMe	H	CO- <i>n</i> -Bu	H	COCH ₂ CN	COMe	COEt
H	SO ₂ Me	H	CO- <i>i</i> -Bu	H	COOCH ₂ CCH	COMe	OMe
H	COPh	H	CO- <i>n</i> -Hex	H	COOCH ₂ CF ₃	CONMe ₂	COMe
H	CHO	H	COOEt	H	OH	SO ₂ Me	COMe
H	CONHMe	H	CONMe ₂	H	OMe	COCF ₃	COCF ₃
H	CONHPh	H	COCF ₃	H	OCOMe	Et	OH
Me	H	Me	SO ₂ CF ₃	Me	COCO ₂ Me	H	Et
Me	COMe	Me	CO- <i>n</i> -Pr	Me	COCH ₂ OMe	H	Allyl
Me	COEt	Me	CO- <i>i</i> -Pr	Me	COCH=CH ₂	H	Propargyl
Me	COOMe	Me	CO- <i>n</i> -Bu	Me	COCH ₂ CN	CONHMe	Et
Me	SO ₂ Me	Me	CO- <i>i</i> -Bu	Me	COOCH ₂ CCH	CONHPh	Et
Me	COPh	Me	CO- <i>n</i> -Hex	Me	COOCH ₂ CF ₃	Et	Et
Me	CHO	Me	COOEt	Me	OH	COPh	COPh
Me	CONHMe	Me	CONMe ₂	Me	OMe	COCO ₂ Me	COMe
Me	CONHPh	Me	COCF ₃	Me	OCOMe	H	OCO ₂ Me

B is CH₂, R²² is 4-Cl, R⁶ is 4-F.

<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁷</u>	<u>R⁸</u>
H	H	H	SO ₂ CF ₃	H	COCO ₂ Me	Me	Me
H	COMe	H	CO- <i>n</i> -Pr	H	COCH ₂ OMe	COMe	COMe
H	COEt	H	CO- <i>i</i> -Pr	H	COCH=CH ₂	COEt	COEt
H	COOMe	H	CO- <i>n</i> -Bu	H	COCH ₂ CN	COMe	COEt
H	SO ₂ Me	H	CO- <i>i</i> -Bu	H	COOCH ₂ CCH	COMe	OMe
H	COPh	H	CO- <i>n</i> -Hex	H	COOCH ₂ CF ₃	CONMe ₂	COMe
H	CHO	H	COOEt	H	OH	SO ₂ Me	COMe
H	CONHMe	H	CONMe ₂	H	OMe	COCF ₃	COCF ₃
H	CONHPh	H	COCF ₃	H	OCOMe	Me	OH
Me	H	Me	SO ₂ CF ₃	Me	COCO ₂ Me	H	Et
Me	COMe	Me	CO- <i>n</i> -Pr	Me	COCH ₂ OMe	H	Allyl
Me	COEt	Me	CO- <i>i</i> -Pr	Me	COCH=CH ₂	H	Propargyl
Me	COOMe	Me	CO- <i>n</i> -Bu	Me	COCH ₂ CN	CONHMe	Et
Me	SO ₂ Me	Me	CO- <i>i</i> -Bu	Me	COOCH ₂ CCH	CONHPh	Et
Me	COPh	Me	CO- <i>n</i> -Hex	Me	COOCH ₂ CF ₃	Et	Et

Me	CHO	Me	COOEt	Me	OH	COPh	COPh
Me	CONHMe	Me	CONMe ₂	Me	OMe	COCO ₂ M	COMe
						e	
Me	CONHPh	Me	COCF ₃	Me	OCOMe	H	OCO ₂ Me

B is CH₂, R²² is 4-F, R⁶ is 4-Cl.

<u>R7</u>	<u>R8</u>	<u>R7</u>	<u>R8</u>	<u>R7</u>	<u>R8</u>	<u>R7</u>	<u>R8</u>
H	H	H	SO ₂ CF ₃	H	COCO ₂ Me	Me	Me
H	COMe	H	CO- <i>n</i> -Pr	H	COCH ₂ OMe	COMe	COMe
H	COEt	H	CO- <i>i</i> -Pr	H	COCH=CH ₂	COEt	COEt
H	COOMe	H	CO- <i>n</i> -Bu	H	COCH ₂ CN	COMe	COEt
H	SO ₂ Me	H	CO- <i>i</i> -Bu	H	COOCH ₂ CCH	COMe	OMe
H	COPh	H	CO- <i>n</i> -Hex	H	COOCH ₂ CF ₃	CONMe ₂	COMe
H	CHO	H	COOEt	H	OH	SO ₂ Me	COMe
H	CONHMe	H	CONMe ₂	H	OMe	COCF ₃	COCF ₃
H	CONHPh	H	COCF ₃	H	OCOMe	Et	OH
Me	H	Me	SO ₂ CF ₃	Me	COCO ₂ Me	H	Et
Me	COMe	Me	CO- <i>n</i> -Pr	Me	COCH ₂ OMe	H	Allyl
Me	COEt	Me	CO- <i>i</i> -Pr	Me	COCH=CH ₂	H	Propargyl
Me	COOMe	Me	CO- <i>n</i> -Bu	Me	COCH ₂ CN	CONHMe	Et
Me	SO ₂ Me	Me	CO- <i>i</i> -Bu	Me	COOCH ₂ CCH	CONHPh	Et
Me	COPh	Me	CO- <i>n</i> -Hex	Me	COOCH ₂ CF ₃	Et	Et
Me	CHO	Me	COOEt	Me	OH	COPh	COPh
Me	CONHMe	Me	CONMe ₂	Me	OMe	COCO ₂ M	COMe
						e	
Me	CONHPh	Me	COCF ₃	Me	OCOMe	H	OCO ₂ Me

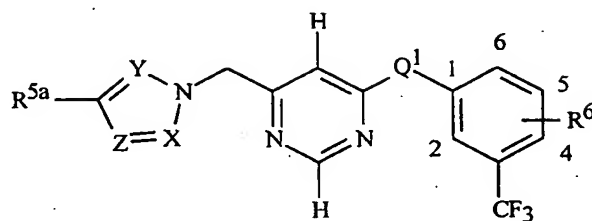


Table 3

Q¹ is O, Y is N, X is CH, Z is CR²².

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H

CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is NH, Y is N, X is CH, Z is CR²²

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is O, Y is CR²², X is CH, Z is N

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is NH, Y is CR²², X is CH, Z is N

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂

CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is O, Y is N, X is CR²², Z is N.

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is NH, Y is N, X is CR²², Z is N.

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ Cl	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ Cl	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ Cl	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ Cl	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ Cl	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ Cl	Cl	4-F
CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ Cl	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ Cl	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ Cl	Cl	4-NO ₂

Q¹ is O, Y is CH, X is CH, Z is CR²².

<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R⁶</u>
CF ₃	H	4-F	CF ₃ CF ₂	H	4-F	CF ₂ H	H	4-F
CF ₃	H	H	CF ₃ CF ₂	H	H	CF ₂ H	H	H
CF ₃	H	4-Cl	CF ₃ CF ₂	H	4-Cl	CF ₂ H	H	4-Cl
CF ₃	H	4-NO ₂	CF ₃ CF ₂	H	4-NO ₂	CF ₂ H	H	4-NO ₂
CF ₃	H	4-Br	CF ₃ CF ₂	H	4-Br	CF ₂ H	H	4-Br
CF ₃	Cl	4-F	CF ₃ CF ₂	Cl	4-F	CF ₂ H	Cl	4-F

CF ₃	Cl	H	CF ₃ CF ₂	Cl	H	CF ₂ H	Cl	H
CF ₃	Cl	4-Cl	CF ₃ CF ₂	Cl	4-Cl	CF ₂ H	Cl	4-Cl
CF ₃	Cl	4-NO ₂	CF ₃ CF ₂	Cl	4-NO ₂	CF ₂ H	Cl	4-NO ₂

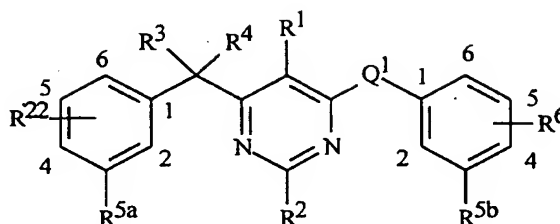


Table 4

<u>R¹</u>	<u>R²</u>	<u>R³</u>	<u>R⁴</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R^{5b}</u>	<u>R⁶</u>	<u>Q¹</u>
Me	H	H	H	CF ₃	4-F	CF ₃	4-F	O
Cl	H	H	H	CF ₃	4-F	CF ₃	4-F	O
OMe	H	H	H	CF ₃	4-F	CF ₃	4-F	O
CF ₃	H	H	H	CF ₃	4-F	CF ₃	4-F	O
SMe	H	H	H	CF ₃	4-F	CF ₃	4-F	O
NO ₂	H	H	H	CF ₃	4-F	CF ₃	4-F	O
H	Me	H	H	CF ₃	4-F	CF ₃	4-F	O
H	Cl	H	H	CF ₃	4-F	CF ₃	4-F	O
H	OMe	H	H	CF ₃	4-F	CF ₃	4-F	O
H	CF ₃	H	H	CF ₃	4-F	CF ₃	4-F	O
H	SMe	H	H	CF ₃	4-F	CF ₃	4-F	O
H	NO ₂	H	H	CF ₃	4-F	CF ₃	4-F	O
Me	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
Cl	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
OMe	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
CF ₃	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
SMe	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
NO ₂	H	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	Me	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	Cl	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	OMe	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	CF ₃	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	SMe	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	Cl	H	H	CF ₃	4-F	CF ₃	4-Cl	O
H	H	H	H	CF ₃	4-Cl	CF ₃	4-F	O
H	H	H	H	Cl	4-Cl	CF ₃	4-F	O
H	Cl	H	H	Cl	4-CF ₃	CF ₃	4-F	O

H	H	H	H	CF ₃	4-CF ₃	CF ₃	4-F	O
H	H	H	H	Me	4-F	CF ₃	4-F	O
H	H	H	H	OCF ₃	4-F	CF ₃	4-F	O
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	4-F	O
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	4-Cl	O
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	H	O
H	H	H	H	CF ₂ CF ₃	H	CF ₃	4-F	O
H	H	H	H	CF ₃	H	CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	CF ₃	H	O
H	H	H	H	CF ₂ Cl	4-F	CF ₃	4-F	O
H	H	H	H	CF ₂ Cl	4-F	CF ₃	4-Cl	O
H	H	H	H	CF ₂ Cl	4-Cl	CF ₃	4-F	O
H	H	H	H	CF ₂ Cl	4-F	CF ₃	H	O
H	H	H	H	CF ₂ Cl	4-F	CF ₂ Cl	4-F	O
H	H	H	H	OMe	4-F	CF ₃	4-F	O
H	H	H	H	SF ₅	H	CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	Cl	4-Cl	O
H	H	H	H	CF ₃	4-F	Cl	4-CF ₃	O
H	Cl	H	H	CF ₃	4-F	CF ₃	4-CF ₃	O
H	H	H	H	CF ₃	4-F	Me	4-F	O
H	H	H	H	CF ₃	4-F	OCF ₃	4-F	O
H	H	H	H	CF ₃	4-F	CF ₂ CF ₃	4-F	O
H	H	H	H	CF ₃	4-Cl	CF ₂ CF ₃	4-F	O
H	H	H	H	CF ₃	H	CF ₂ CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	CF ₂ CF ₃	H	O
H	H	H	H	CF ₃	4-F	CF ₃	H	O
H	H	H	H	CF ₃	H	CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	CF ₂ Cl	4-F	O
H	H	H	H	CF ₃	4-Cl	CF ₂ Cl	4-F	O
H	H	H	H	CF ₃	4-F	CF ₂ Cl	4-Cl	O
H	H	H	H	CF ₃	H	CF ₂ Cl	4-F	O
H	H	H	H	CF ₃	4-F	OMe	4-F	O
H	H	H	H	CF ₃	4-F	SF ₅	H	O
H	H	H	H	CN	4-F	CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	CN	4-F	O
H	H	H	H	SCF ₃	4-F	CF ₃	4-F	O
H	H	H	H	CF ₃	4-F	SCF ₃	4-F	O
H	H	H	H	SO ₂ Me	4-F	CF ₃	4-F	O

H	H	H	H	CF ₃	4-F	SO ₂ Me	4-F	O
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	4-F	NH
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	4-Cl	NH
H	H	H	H	CF ₂ CF ₃	4-F	CF ₃	H	NH
H	H	H	H	CF ₂ CF ₃	H	CF ₃	4-F	NH
H	H	H	H	CF ₃	H	CF ₃	4-F	NH
H	H	H	H	CF ₃	4-F	CF ₃	H	NH
H	H	H	H	CF ₂ Cl	4-F	CF ₃	4-F	NH
H	H	H	H	CF ₂ Cl	4-F	CF ₃	4-Cl	NH
H	H	H	H	CF ₂ Cl	4-Cl	CF ₃	4-F	NH
H	H	H	H	CF ₂ Cl	4-F	CF ₃	H	NH
H	H	H	H	CF ₂ Cl	4-F	CF ₂ Cl	4-F	NH
NH ₂	H	H	H	CF ₃	H	CF ₃	4-F	O
NHAc	H	H	H	CF ₃	H	CF ₃	4-F	O
NAc ₂	H	H	H	CF ₃	H	CF ₃	4-F	O
NHMe	H	H	H	CF ₃	H	CF ₃	4-F	O
NMe ₂	H	H	H	CF ₃	H	CF ₃	4-F	O
NHCHO	H	H	H	CF ₃	H	CF ₃	4-F	O
NH ₂	H	H	H	CF ₃	4-F	CF ₃	H	O
NHAc	H	H	H	CF ₃	4-F	CF ₃	H	O
NAc ₂	H	H	H	CF ₃	4-F	CF ₃	H	O
NHMe	H	H	H	CF ₃	4-F	CF ₃	H	O
NMe ₂	H	H	H	CF ₃	4-F	CF ₃	H	O
NHCHO	H	H	H	CF ₃	4-F	CF ₃	H	O
NH ₂	H	H	H	CF ₂ CF ₃	H	CF ₃	4-F	O
NH ₂	H	H	H	CF ₃	4-F	CF ₂ CF ₃	4-F	O
NH ₂	H	H	H	CF ₂ Cl	4-F	CF ₃	4-F	O
NH ₂	H	H	H	CF ₃	4-F	CF ₂ Cl	4-F	O
NH ₂	H	H	H	CF ₃	H	CF ₂ CF ₃	4-F	O
H	H	Me	H	CF ₃	4-F	CF ₃	4-F	O
H	H	Me	Me	CF ₃	4-F	CF ₃	4-F	O
H	H	Cl	H	CF ₃	4-F	CF ₃	4-F	O
H	H	OMe	H	CF ₃	4-F	CF ₃	4-F	O
H	H	CF ₃	H	CF ₃	4-F	CF ₃	4-F	O
H	H	CN	H	CF ₃	4-F	CF ₃	4-F	O
H	H	Cl	Cl	CF ₃	4-F	CF ₃	4-F	O

<u>R¹</u>	<u>R²</u>	<u>CR³R⁴</u>	<u>R^{5a}</u>	<u>R²²</u>	<u>R^{5b}</u>	<u>R⁶</u>	<u>Q¹</u>
H	H	CO	CF ₂ CF ₃	4-F	CF ₃	4-F	O
H	H	CO	CF ₂ CF ₃	4-F	CF ₃	4-Cl	O
H	H	CO	CF ₂ CF ₃	4-F	CF ₃	H	O
H	H	CO	CF ₂ CF ₃	H	CF ₃	4-F	O
H	H	CO	CF ₃	H	CF ₃	4-F	O
H	H	CO	CF ₃	4-F	CF ₃	H	O
H	H	CO	CF ₂ Cl	4-F	CF ₃	4-F	O
H	H	CO	CF ₂ Cl	4-F	CF ₃	4-Cl	O
H	H	CO	CF ₂ Cl	4-Cl	CF ₃	4-F	O
H	H	CO	CF ₂ Cl	4-F	CF ₃	H	O
H	H	CO	CF ₂ Cl	4-F	CF ₂ Cl	4-F	O

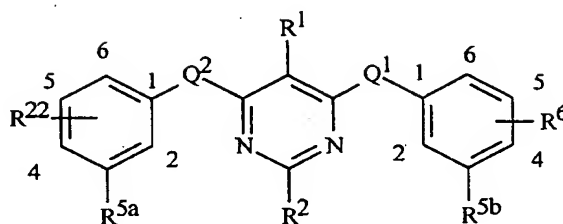


Table 5

<u>R¹</u>	<u>R²</u>	<u>Q¹</u>	<u>R^{5b}</u>	<u>R⁶</u>	<u>Q²</u>	<u>R^{5a}</u>	<u>R²²</u>
H	H	O	CF ₃	4-F	CH ₂ O	F	4-F
H	H	O	CF ₃	4-F	CH ₂ O	F	2-F
H	H	O	CF ₃	4-F	CH ₂ O	F	5-F
H	H	O	CF ₃	4-F	CH ₂ O	F	6-F
H	H	O	CF ₃	4-F	CH ₂ O	F	4-Cl
H	H	O	CF ₃	4-F	CH ₂ O	F	2-Cl
H	H	O	CF ₃	4-F	CH ₂ O	F	5-Cl
H	H	O	CF ₃	4-F	CH ₂ O	F	6-Cl
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-F
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-F
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-F
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-F
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-Cl
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-Cl
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-Cl
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-Cl
H	H	O	CF ₃	4-F	CH ₂ O	F	4-CF ₃

H	H	O	CF ₃	4-F	CH ₂ O	F	2-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	5-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	6-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	4-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	2-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	5-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	F	6-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	4-F
H	H	O	CF ₃	4-Cl	CH ₂ O	F	2-F
H	H	O	CF ₃	4-Cl	CH ₂ O	F	5-F
H	H	O	CF ₃	4-Cl	CH ₂ O	F	6-F
H	H	O	CF ₃	4-Cl	CH ₂ O	F	4-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	F	2-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	F	5-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	F	6-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	4-F
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	2-F
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	5-F
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	6-F
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	4-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	2-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	5-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	6-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	F	4-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	2-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	5-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	6-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	4-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	2-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	F	5-OCF ₃

H	H	O	CF ₃	4-Cl	CH ₂ O	F	6-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	4-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	2-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	5-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	6-CF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	4-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	2-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	5-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	CF ₃	6-OCF ₃
H	H	O	CF ₃	4-Cl	S	F	4-F
H	H	O	CF ₃	4-Cl	S	F	2-F
H	H	O	CF ₃	4-Cl	S	F	5-F
H	H	O	CF ₃	4-Cl	S	F	6-F
H	H	O	CF ₃	4-Cl	S	F	4-Cl
H	H	O	CF ₃	4-Cl	S	F	2-Cl
H	H	O	CF ₃	4-Cl	S	F	5-Cl
H	H	O	CF ₃	4-Cl	S	F	6-Cl
H	H	O	CF ₃	4-Cl	S	CF ₃	4-F
H	H	O	CF ₃	4-Cl	S	CF ₃	2-F
H	H	O	CF ₃	4-Cl	S	CF ₃	5-F
H	H	O	CF ₃	4-Cl	S	CF ₃	6-F
H	H	O	CF ₃	4-Cl	S	CF ₃	4-Cl
H	H	O	CF ₃	4-Cl	S	CF ₃	2-Cl
H	H	O	CF ₃	4-Cl	S	CF ₃	5-Cl
H	H	O	CF ₃	4-Cl	S	CF ₃	6-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	4-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	2-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	5-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	6-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	4-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	2-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	5-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	6-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-F
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-Cl

NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-Cl
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	4-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	2-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	5-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	6-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	4-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	2-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	5-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	F	6-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-CF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	4-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	2-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	5-OCF ₃
NH ₂	H	O	CF ₃	4-F	CH ₂ O	CF ₃	6-OCF ₃
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	4-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	2-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	5-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	6-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	4-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	2-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	5-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	F	6-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	4-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	2-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	5-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	6-F
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	4-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	2-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	5-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	6-Cl
H	H	O	CF ₃	4-F	CH(CH ₃)O	CF ₃	6-Cl
H	H	O	CF ₃	4-F	S	F	4-F
H	H	O	CF ₃	4-F	S	F	2-F

H	H	O	CF ₃	4-F	S	F	5-F
H	H	O	CF ₃	4-F	S	F	6-F
H	H	O	CF ₃	4-F	S	F	4-Cl
H	H	O	CF ₃	4-F	S	F	2-Cl
H	H	O	CF ₃	4-F	S	F	5-Cl
H	H	O	CF ₃	4-F	S	F	6-Cl
H	H	O	CF ₃	4-F	S	CF ₃	4-F
H	H	O	CF ₃	4-F	S	CF ₃	2-F
H	H	O	CF ₃	4-F	S	CF ₃	5-F
H	H	O	CF ₃	4-F	S	CF ₃	6-F
H	H	O	CF ₃	4-F	S	CF ₃	4-Cl
H	H	O	CF ₃	4-F	S	CF ₃	2-Cl
H	H	O	CF ₃	4-F	S	CF ₃	5-Cl
H	H	O	CF ₃	4-F	S	CF ₃	6-Cl
H	H	O	CF ₃	4-F	CH ₂ S	F	4-F
H	H	O	CF ₃	4-F	CH ₂ S	F	2-F
H	H	O	CF ₃	4-F	CH ₂ S	F	5-F
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H	H	O	CF ₃	4-F	CH ₂ S	F	4-Cl
H	H	O	CF ₃	4-F	CH ₂ S	F	2-Cl
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H	H	O	CF ₃	4-F	CH ₂ S	CF ₃	6-F
H	H	O	CF ₃	4-F	CH ₂ S	CF ₃	4-Cl
H	H	O	CF ₃	4-F	CH ₂ S	CF ₃	2-Cl
H	H	O	CF ₃	4-F	CH ₂ S	CF ₃	5-Cl
H	H	O	CF ₃	4-F	CH ₂ S	CF ₃	6-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	4-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	2-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	5-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	6-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	2-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	F	6-Cl

H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	4-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	2-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	5-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	6-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	2-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂	CF ₃	6-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	4-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	2-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	5-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	6-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	4-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	2-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	5-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	F	6-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	4-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	2-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	5-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	6-F
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	4-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	2-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	5-Cl
H	H	CH ₂ NH	CF ₃	4-F	CH ₂	CF ₃	6-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	F	4-F
H	H	CH ₂ O	CF ₃	4-F	CO	F	2-F
H	H	CH ₂ O	CF ₃	4-F	CO	F	5-F
H	H	CH ₂ O	CF ₃	4-F	CO	F	6-F
H	H	CH ₂ O	CF ₃	4-F	CO	F	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	F	2-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	F	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	F	6-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	4-F
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	2-F
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	5-F
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	6-F
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	2-Cl

H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CO	CF ₃	6-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	4-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	2-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	5-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	6-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	2-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	F	6-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	4-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	2-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	5-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	6-F
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	4-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	2-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	5-Cl
H	H	CH ₂ O	CF ₃	4-F	CH ₂ O	CF ₃	6-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	F	4-F
H	H	NH	CF ₃	4-F	CH ₂ O	F	2-F
H	H	NH	CF ₃	4-F	CH ₂ O	F	5-F
H	H	NH	CF ₃	4-F	CH ₂ O	F	6-F
H	H	NH	CF ₃	4-F	CH ₂ O	F	4-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	F	2-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	F	5-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	F	6-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	4-F
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	2-F
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	5-F
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	6-F
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	4-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	2-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	5-Cl
H	H	NH	CF ₃	4-F	CH ₂ O	CF ₃	6-Cl
H	H	O	CF ₃	4-F	CH ₂ O	H	4-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	2-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	5-CF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	6-CF ₃

H	H	O	CF ₃	4-F	CH ₂ O	H	4-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	2-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	5-OCF ₃
H	H	O	CF ₃	4-F	CH ₂ O	H	6-OCF ₃
H	H	O	CF ₃	4-Cl	CH ₂ O	H	4-F
H	H	O	CF ₃	4-Cl	CH ₂ O	H	2-F
H	H	O	CF ₃	4-Cl	CH ₂ O	H	5-F
H	H	O	CF ₃	4-Cl	CH ₂ O	H	6-F
H	H	O	CF ₃	4-Cl	CH ₂ O	H	4-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	H	2-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	H	5-Cl
H	H	O	CF ₃	4-Cl	CH ₂ O	H	6-Cl
H	H	O	CF ₃	H	S	F	4-F
H	H	O	CF ₃	H	S	F	2-F
H	H	O	CF ₃	H	S	F	5-F
H	H	O	CF ₃	H	S	F	6-F
H	H	O	CF ₃	H	S	F	4-Cl
H	H	O	CF ₃	H	S	F	2-Cl
H	H	O	CF ₃	H	S	F	5-Cl
H	H	O	CF ₃	H	S	F	6-Cl
H	H	O	CF ₃	H	S	CF ₃	4-F
H	H	O	CF ₃	H	S	CF ₃	2-F
H	H	O	CF ₃	H	S	CF ₃	5-F
H	H	O	CF ₃	H	S	CF ₃	6-F
H	H	O	CF ₃	H	S	CF ₃	4-Cl
H	H	O	CF ₃	H	S	CF ₃	2-Cl
H	H	O	CF ₃	H	S	CF ₃	5-Cl
H	H	O	CF ₃	H	S	CF ₃	6-Cl

Formulation/Utility

- Compounds of this invention will generally be used as a Formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The Formulation or composition ingredients are selected to be
- 5 consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful Formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful Formulations further include solids such as dusts,

powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wetttable") or water-soluble. The active ingredient can be (micro)encapsulated and further formed into a suspension or solid Formulation; alternatively the entire Formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable Formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further Formulation.

The Formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All Formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkyl naphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut,

cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of Formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Table A.

25 Example A

Wettable Powder

	Compound 7	65.0%
	dodecylphenol polyethylene glycol ether	2.0%
	sodium ligninsulfonate	4.0%
30	sodium silicoaluminate	6.0%
	montmorillonite (calcined)	23.0%.

Example B

Granule

	Compound 7	10.0%
35	attapulgit granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example CExtruded Pellet

	Compound 7	25.0%
	anhydrous sodium sulfate	10.0%
5	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example DEmulsifiable Concentrate

10	Compound 7	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of
 15 foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting
 arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of
 growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops,
 stored food and fiber products, livestock, household, and public and animal health. Those
 skilled in the art will appreciate that not all compounds are equally effective against all
 20 growth stages of all pests. Nevertheless, all of the compounds of this invention display
 activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs,
 foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order
 Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs,
 larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders
 25 Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera;
 and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention
 are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria,
 Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum
 Platyhelminthes. Specifically, the compounds are active against southern corn rootworm
 30 (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrosteles fascifrons*), boll
 weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm
 (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus*
persica), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English
 grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil
 35 (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper
 (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper
 (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer
 (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug

(*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus*
 5 *cinnabarinus*, *Tetranychus mcdanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*;
 10 Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants,
 15 semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos,
 20 chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[*N*-(methoxycarbonyl)-*N*-[4-
 25 (trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-*e*][1,3,4]oxadiazine-4a(3*H*)-carboxylate (DPX-JW062), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, binomial,
 30 blasticidin-S, Bordeaux mixture (Triassic copper sulfate), bromuconazole, capropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), (*S*)-3,5-dichloro-*N*-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (*S*)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-
 35 (phenylamino)-4*H*-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397),

fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenox, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

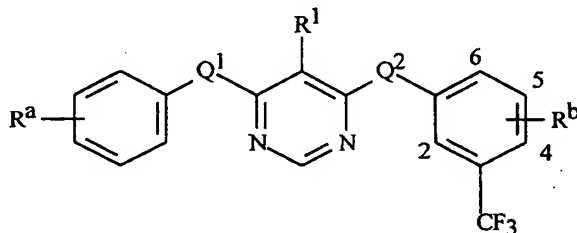
The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil

concentrates, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following Test A demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to this species. See Index Tables A-B for compound descriptions. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A



Compound	<u>Q¹</u>	<u>Q²</u>	<u>R^a</u>	<u>R^b</u>	<u>R¹</u>	Physical Property (m.p. °C)*
1	CH ₂	O	H	H	H	a
2 (Ex. 2)	CO	O	H	H	H	b
3	CO	O	F	H	H	c
4	CO	O	F	F	H	d
5	CH ₂	O	H	F	H	e
6	CH ₂	O	F	F	H	f
7 (Ex. 1)	CH ₂	O	F	H	H	g
8	CH ₂	O	F	Cl	H	h
9	CH ₂	O	H	Cl	H	i
10	CH ₂	O	F	NO ₂	H	j
11	CO	NH	F	F	H	150-153
12 (Ex. 3)	CH ₂	NH	H	F	H	102-104

13	CF ₂	O	3-CF ₃ , 4-Cl	4-F	H	k
14	CH ₂	O	3-CF ₃ , 4-F	5-F	H	l
15	CO	O	3-CF ₃ , 4-Cl	4-F	H	m
16	CH ₂	O	3-CF ₃ , 4-F	2-F	H	n
17	CH ₂	O	3-CF ₃ , 4-F	6-F	H	o
18	CF ₂	O	3-CF ₃ , 4-F	4-F	H	p
19	CO	O	3-CF ₃ , 4-F	4-Cl	H	q
20	CO	O	3-CF ₃ , 4-F	5-F	H	r
21(Ex. 5)	CH ₂	O	3-CF ₃ , 4-F	4-F	NH ₂	s
22	CH ₂	O	3-CF ₃	4-F	NH ₂	t
23	CH ₂ O	O	3-CF ₃ , 4-F	4-F	H	u
24	CH ₂ O	O	4-CF ₃	4-F	H	58-60
25	CH ₂ O	O	2,3-diF	4-F	H	v
26	CH ₂ O	O	3-CF ₃ , 4-F	H	H	71-73
27(Ex. 4)	CH ₂ O	O	3-CF ₃	4-F	H	w
28	CH ₂ O	O	2-CF ₃	4-F	H	x
29	CH ₂ O	O	3-CF ₃ , 4-F	4-Cl	H	y
30	CH ₂ O	O	3,4-diF	4-F	H	z
31	CH ₂ O	O	3-CF ₃ , 2-F	4-F	H	aa
32	CH ₂ O	O	3-CF ₃ , 4-F	4-F	NH ₂	bb
33	CH ₂ O	O	3,4-diCl	4-F	H	cc
34	CH(CF ₃)O	O	H	4-F	H	dd
35	CH ₂ O	O	4-CF ₃ , 2-F	4-F	H	ee
36	CH(CH ₃)O	O	3,4-diCl	4-F	H	ff
37	CH ₂ O	O	4-Cl	4-F	H	gg
38	CH ₂ O	O	3-NO ₂ , 4-Cl	4-F	H	hh
39	S	O	3-F	4-F	H	ii
40	S	O	2-F	4-F	H	jj
41	S	O	4-F	4-F	H	kk
42	S	O	3-CF ₃	4-F	H	72-73
43	S	O	2-Cl, 4-F	4-F	H	53-60
44	CH ₂ S	O	4-OMe, 3-Cl	4-F	H	91-94
45	CH ₂ S	O	4-OMe	4-F	H	66-69
46	CH ₂ S	O	2-Cl	4-F	H	ll
47(Ex. 6)	CH ₂ S	O	4-Cl	4-F	H	66-69

*See Index Table B for ¹H NMR data.

INDEX TABLE B¹H NMR in CDCl₃ (δ)

- a) 4.16 (s, 2H), 6.74 (s, 1H), 7.35 (m, 1H), 7.41 (s, 1H), 7.45-7.80 (m, 6H), 8.71 (s, 1H).
- b) 7.38-7.45 (m, 1H), 7.49 (s, 1H), 7.61 (d, 3H), 7.62-7.72 (m, 1H), 7.90 (d, 1H), 8.38 (d, 1H), 8.44 (s, 1H), 8.92 (s, 1H).
- c) 7.23-7.42 (m, 3H), 7.45 (m, 1H), 7.63 (s, 1H), 8.42-8.60 (m, 2H), 8.86 (s, 1H).
- d) 7.27-7.54 (m, 3H), 7.60-7.73 (m, 2H), 7.85-7.95 (m, 1H), 8.31-8.39 (m, 1H), 8.46 (s, 1H), 8.90 (s, 1H).
- e) 4.16 (s, 2H), 6.74 (s, 1H), 7.2-7.42 (m, 3H), 7.44-7.60 (m, 4H), 8.69 (s, 1H).
- f) 4.13 (s, 2H), 6.76 (s, 1H), 7.16-7.38 (m, 3H), 7.38-7.41 (m, 1H), 7.45-7.57 (m, 2H), 8.68 (s, 1H).
- g) 4.11 (s, 2H), 6.75 (s, 1H), 7.18 (t, 1H), 7.28-7.38 (m, 1H), 7.42 (s, 1H), 7.43-7.60 (m, 2H), 8.70 (s, 1H).
- h) 4.16 (s, 1H), 6.77 (s, 1H), 7.20 (m, 1H), 7.28 (m, 1H), 7.45-7.60 (m, 4H), 8.69 (s, 1H).
- i) 4.16 (s, 2H), 7.26-7.32 (m, 1H), 7.43-7.60 (m, 6H), 8.70 (s, 1H).
- j) 4.15 (s, 2H), 6.83 (s, 1H), 7.20 (m, 1H), 7.44-7.58 (m, 3H), 7.63 (s, 1H), 8.02 (d, 1H), 8.77 (s, 1H).
- k) 7.28 (t, 1H), 7.3-7.45 (m, 3H), 7.4 (d, 1H), 7.8 (d, 1H).
- l) 4.13 (s, 2H), 6.78 (s, 1H), 7.15 (m, 2H), 7.25 (m, 2H), 8.7 (m, 1H).
- m) 7.31 (t, 1H), 7.35-7.5 (m, 3H), 7.58-7.76 (m, 2H), 8.35 (d, 1H), 8.58 (s, 1H), 8.9 (s, 1H).
- n) 4.13 (s, 2H), 6.83 (s, 1H), 7.19 (t, 1H), 7.29 (t, 1H), 7.38-7.6 (m, 4H), 8.68 (s, 1H).
- o) 4.13 (s, 1H), 6.83 (s, 1H), 7.2 (t, 1H), 7.43-7.6 (m, 4H), 8.68 (s, 1H).
- p) 7.3-7.45 (m, 5H), 7.83-7.97 (m, 2H), 8.76 (s, 1H).
- q) 7.3-7.41 (m, 2H), 7.49-7.65 (m, 3H), 8.43-8.52 (m, 1H), 8.78 (d, 1H), 8.94 (s, 1H).
- r) 7.2-7.4 (m, 4H), 7.6 (s, 1H), 8.5 (m, 1H), 8.6 (m, 1H), 8.9 (s, 1H).
- s) 3.83 (s, 2H), 4.2 (s, 2H), 7.17 (t, 1H), 7.2-7.58 (m, 5H), 8.19 (s, 1H).
- t) 3.81 (s, 2H), 4.16 (s, 2H), 7.26 (t, 1H), 7.32-7.58 (m, 6H), 8.2 (s, 1H).
- u) 5.46 (s, 2H), 6.31 (s, 1H), 7.43-7.18 (m, 4H), 7.75-7.60 (m, 2H), 8.43 (s, 1H).
- v) 5.53 (s, 2H), 6.30 (s, 1H), 7.43-7.05 (m, 6H), 8.44 (s, 1H).
- w) 5.51 (s, 2H), 6.32 (s, 1H), 7.65-7.20 (m, 6H), 7.71 (s, 1H), 8.44 (s, 1H).
- x) 8.61 (s, 1H), 7.75-7.45 (m's, 7H), 6.86 (s, 1H), 5.64 (s, 2H).
- y) 8.43 (s, 1H), 7.75-7.15 (m's, 6H), 6.32 (s, 1H), 5.46 (s, 2H).
- z) 8.42 (s, 1H), 7.45-7.15 (m's, 6H), 6.29 (s, 1H), 5.40 (s, 2H).
- aa) 8.45 (s, 1H), 7.75-7.20 (m's, 6H), 6.31 (s, 1H), 5.57 (s, 2H).
- bb) 7.90 (s, 1H), 7.75-7.15 (m's, 6H), 5.50 (s, 2H), 3.82 (broad s, 2H).
- cc) 8.43 (s, 1H), 7.57-7.0 (m's, 6H), 6.29 (s, 1H), 5.40 (s, 2H).
- dd) 8.40 (s, 1H), 7.60-7.20 (m's, 8H), 6.65 (q, 1H), 6.45 (s, 1H).
- ee) 8.44 (s, 1H), 7.65-7.20 (m's, 6H), 6.33 (s, 1H), 5.57 (s, 2H).
- ff) 8.35 (s, 1H), 7.55-7.20 (m's, 6H), 6.26 (s, 1H), 6.20 (q, 1H), 1.63 (d, 3H).
- gg) 8.45 (s, 1H), 7.45-6.95 (m's, 7H), 6.26 (s, 1H), 5.41 (s, 2H).
- hh) 8.59 (s, 1H), 7.97 (s, 1H), 7.58 (s, 2H), 7.27 (m, 3H), 6.87 (s, 1H), 5.48 (s, 2H).
- ii) 8.51 (s, 1H), 7.4-7.1 (m, 7H), 6.39 (s, 1H).

jj) 8.50 (s, 1H), 7.7-7.1 (m, 7H), 6.41 (s, 1H)

kk) 8.50 (s, 1H), 7.6-7.0 (m, 7H), 6.33 (s, 1H).

ll) 8.58 (s, 1H), 7.5-7.2 (m, 7H), 6.76 (s, 1H), 4.6 (s, 2H)

¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet.

BIOLOGICAL EXAMPLES OF THE INVENTION

TEST A

Two-Spotted Spider Mite

Pieces of kidney bean leaves, each approximately 6.5 cm² (1 square inch) in area, that
5 had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were
sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test
compound in 75:25 acetone-distilled water solvent. Spraying was accomplished by passing
the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which discharged
the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per
10 acre) at 207 kPa (30 p.s.i.). The leaf squares were then placed underside-up on a square of
wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the
cotton with forceps so that the mites could not escape onto the untreated leaf surface. The
test units were held at 27°C and 50% relative humidity for 48 hours, after which time
mortality readings were taken. Of the compounds tested, the following gave mortality levels
15 of 80% or higher: 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23,
24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 35, 37, 39, 40, 41, 42 and 43.

TEST B

Fall Armyworm

Test units, each consisting of a H.I.S. (high impact styrene) tray with 16 cells were
20 prepared. Wet filter paper and approximately 8 cm² of lima bean leaf was placed into twelve
of the cells. A 0.5-cm layer of wheat germ diet was placed into the four remaining cells.
Fifteen to twenty third-instar larvae of fall armyworm (*Spodoptera frugiperda*) were placed
into a 230-mL (8-ounce) plastic cup. Solutions of each of the test compounds in
75:25 acetone-distilled water solvent were sprayed into the tray and cup. Spraying was
25 accomplished by passing the tray and cup on a conveyor belt directly beneath a flat fan
hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient
per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The insects were transferred
from the 230-mL cup to the H.I.S. tray (one insect per cell). The trays were covered and
held at 27°C and 50% relative humidity for 48 hours, after which time readings were taken
30 on the twelve cells with lima bean leaves. The four remaining cells were read at 6-8 days for

delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 41.

TEST C

Corn Planthopper Test

5 Test Unit: The test unit consisted of a plastic cup containing 126 +/- 4 grams of sterilized, non-fertilized sassafras (sandy loam) soil. One pre-germinated Pioneer variety 3394 corn seed is placed in a 1 inch depression in the soil and covered. The test unit was watered with 15mL of distilled water and placed in a closed plexiglas box inside a greenhouse operating at 24 degrees centigrade and 36% relative humidity for 4 days at which
10 time it is ready for test. A snug-fitting test unit lid with a small opening at the top was placed on all test units prior to test.

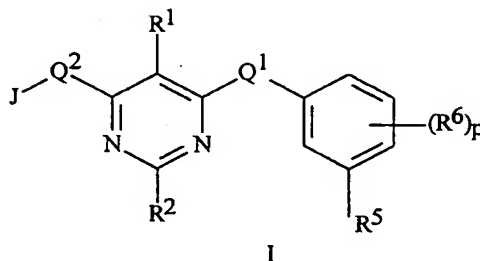
 Compound Application: Test compounds were formulated at 250 ppm in 20% acetone: 80% water containing 500 ppm Ortho X-77 surfactant. Compounds were applied through the opening in the test unit lid with an atomizer sprayer fitted with a Model 17690-
15 1/8JJAU nozzle and a spray set-up consisting of a J2850 Fluid Cap and J70 Air Cap (Spray Sytems, Inc.). The sprayer was operated at 12-13psi. For each compound, 2 test units were sprayed with a total of 2 mL each of test solution. After spraying, test units were placed in a ventilated enclosure for 10-15 minutes to dry.

 Insect Infesting/Evaluation: After drying, a thin layer of white quartz sand was
20 poured onto the soil of each test unit to aid in the evaluation of live and dead insects at the conclusion of the test. Each unit was infested with a minimum of 15 nymphs of the corn planthopper, *Peregrinus maidis*, which were approximately 21 days old. Infested test units were held in a growth chamber operating at 22 degrees centigrade and 50% relative humidity with a 16:8 light:dark photoperiod. Insect mortality was evaluated at 6 days post-infestation.
25 Moribund insects were counted as dead. Of the compounds tested, the following gave mortality of 80% or greater: 42.

CLAIMS

What is claimed is:

1. A compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,

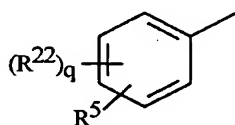


wherein

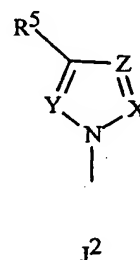
Q^1 is O, S, NR^{17} , $OCR^{18}R^{19}$, or $NR^{17}CR^{18}R^{19}$; wherein when Q^1 is $OCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$, then Q^1 is attached to the pyrimidine through the O or N atom respectively;

Q^2 is S, CR^3R^4 , $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$; wherein when Q^2 is $OCR^{18}R^{19}$, $SCR^{18}R^{19}$ or $NR^{17}CR^{18}R^{19}$ then Q^2 is attached to the pyrimidine through the O, S or N atom respectively;

J is



or



X, Y and Z are each independently N or CR^{22} ;

R^1 and R^2 are each independently H, C_1 - C_4 alkyl, halogen, NR^7R^8 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkylthio or nitro;

R^3 and R^4 are each independently H, halogen, hydroxy, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl or cyano; or R^3 and R^4 are taken together with the attached carbon to make a carbonyl;

each R^5 is independently H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, SF_5 , $S(O)_nR^9$, cyano or CO_2R^{11} ;

each R^6 and each R^{22} is independently H, halogen, cyano, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 alkoxy, NR^{17} or $S(O)_nR^9$;

R^7 and R^8 are each independently H, C_1 - C_4 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, COR^{10} , CO_2R^{11} , CHO , SO_2R^{12} or OR^{13} ;

each R⁹ is independently C₁-C₄ alkyl or C₁-C₄ haloalkyl;

each R¹⁰ is independently C₁-C₆ alkyl, phenyl optionally substituted by R⁶, C₁-C₆ haloalkyl, CO₂R¹⁴, C₁-C₆ alkoxyalkyl, C₂-C₄ alkenyl, C₂-C₆ alkynyl, C₂-C₆ cyanoalkyl or NR¹⁵R¹⁶;

5 R¹¹ and R¹² are each independently C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl;

R¹³ and R¹⁷ are each independently C₁-C₄ alkyl, H, COR¹⁰ or CO₂R¹¹;

each R¹⁴ is independently C₁-C₄ alkyl;

10 each R¹⁵ is independently H, C₁-C₄ alkyl, C₁-C₄ alkoxy or phenyl optionally substituted by R⁶;

R¹⁶ and R¹⁹ are each independently H or C₁-C₄ alkyl;

each R¹⁸ is independently H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, or cyano;

n is 0-2;

15 p is 0-4; and

q is 0-4;

provided that when Q² is NR¹⁷CR¹⁸R¹⁹, then J is J².

2. A compound of Claim 1 wherein

J is J¹;

20 R¹ and R² are H;

R⁵ is C₁-C₂ haloalkyl;

each R⁶ and each R²² is halogen; and

R³ and R⁴ are either each H or taken together with the attached carbon as a carbonyl.

25 3. A compound of Claim 1 wherein

J is J²;

R¹ and R² are H;

R⁵ is C₁ to C₂ haloalkyl;

each R⁶ and each R²² is halogen;

30 R³ and R⁴ are each H; and

Y is N, X is CH and Z is CR²².

4. A compound of Claim 2 selected from the group:

4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]pyrimidine;

35 4-[4-chloro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]pyrimidine;

[6-[4-fluoro-3-(trifluoromethyl)phenoxy]-4-pyrimidinyl][4-fluoro-3-(trifluoromethyl)phenyl]methanone;

4-[4-fluoro-3-(trifluoromethyl)phenyl]-6-[3-(trifluoromethyl)-phenyl]methoxypyrimidine;

4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-5-pyrimidinamine;

5 4-[(3,4-difluorophenyl)methoxy]-6-[4-fluoro-3-(trifluoromethyl)phenoxy]pyrimidine and

4-[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[(4-fluorophenyl)thio]pyrimidine.

10 5. An arthropodicidal composition comprising an arthropodically effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

6. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Claim 1.

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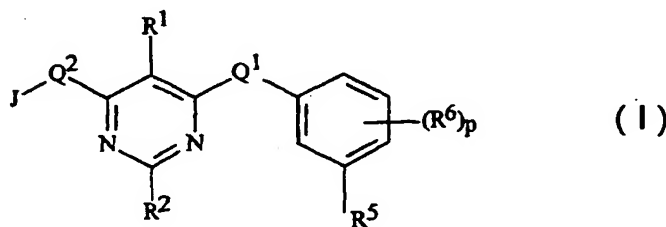
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(75) Inventor/Applicant (*for US only*): STEVENSON,
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*For two-letter codes and other abbreviations, refer to the "Guid-
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(54) Title: PHENOXY-, PHENYLTHIO-, PHENYLAMINO-, BENZYLOXY-, BENZYLTHIO- OR BENZYLAMINOPYRIMI-
DINE INSECTIDICES AND ACARICIDES



(57) Abstract: Compounds of Formula (I), and their *N*-oxides and agriculturally suitable salts, are disclosed which are useful as arthropodicides wherein Q¹ is O, S, NR¹⁷, OCR¹⁸R¹⁹, or NR¹⁷CR¹⁸R¹⁹; wherein when Q¹ is OCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹, then Q¹ is attached to the pyrimidine through the O or N atom respectively; Q² is S, CR³R⁴, OCR¹⁸R¹⁹, SCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹; wherein when Q² is OCR¹⁸R¹⁹, SCR¹⁸R¹⁹ or NR¹⁷CR¹⁸R¹⁹ then Q² is attached to the pyrimidine through the O, S or N atom respectively; R¹ and R² are each independently H, C₁-C₄ alkyl, halogen, NR⁷R⁸, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkoxy, SF₃, S(O)_nR⁹, cyano or CO₂R¹¹; each R⁶ and each R²² is independently H, halogen, cyano, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkoxy, NR¹⁷ or S(O)_nR⁹; p is 0-4; and J, R³, R⁴R⁷, R⁸, R⁹, R¹¹, R¹⁷, R¹⁸, R¹⁹ and n are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of Formula (I).

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 00/03180

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/34 C07D239/38 C07D239/42 C07D239/46 C07D239/52
C07D239/56 C07D403/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 5 707 995 A (DAVIS ROYSTON ET AL) 13 January 1998 (1998-01-13) cited in the application see compounds 7,8,9 column 1, line 18 - line 60 ---	1-6
P,X	EP 0 972 770 A (AMERICAN CYANAMID COMPANY, USA) 19 January 2000 (2000-01-19) claims 1-10; examples 1-16,19,30-41 ---	1-6
A	WO 98 54154 A (NAKAMURA TAKEHIKO ;TAKAHASHI HIDEMITSU (JP); IWASA TAKAO (JP); HAM) 3 December 1998 (1998-12-03) the whole document --- -/-	1-6

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 00/03180

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 98 12184 A (ISHIMITSU KEIICHI ; NAKAMURA TAKEHIKO (JP); TAKAHASHI HIDEMITSU (JP) 26 March 1998 (1998-03-26) the whole document ---	1-6
P,A	JP 11 269154 A (NIPPON SODA CO LTD) 5 October 1999 (1999-10-05) cited in the application the whole document ---	1-6
X	WO 97 14684 A (JANSSEN PHARMACEUTICA N.V., BELG.; NEUROCRINE BIOSCIENCES INC.; WEBB, T) 24 April 1997 (1997-04-24) see table 5 ---	1,2
P,X	EP 0 945 442 A (JANSSEN PHARMACEUTICA N.V., BELG.) 29 September 1999 (1999-09-29) see table 4 claim 1 ---	1,2
P,X	WO 99 50250 A (JANSSEN PHARMACEUTICA N.V., BELG.; ET AL.) 7 October 1999 (1999-10-07) see tables 3-5 -----	1

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 00/03180

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

See additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1 - 6 (all partially)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

1. Claims: 1-6 (all partially)

those pyrimidine derivatives of formula (I) wherein Q2 is CR3R4.

This group can be represented by the claimed compound
6[4-fluoro-3-(trifluoromethyl)phenoxy]-4-pyrimidinyl]
[4-fluoro-3-(trifluoromethyl)phenyl]methanone -see claim
4.

2. Claims: claim 1-6 (all part)

those pyrimidine derivatives of formula (I) wherein Q2 is
OCR18R19, SCR18R19 or NR17CR18R19 and those Q2 is S and Q1
is OCR18R19 or NR17CR18R19.

This group can be represented by the claimed compound
4[3,4-difluorophenyl)methoxy]-6-[(4-fluoro-3-trifluoromethyl-
phenoxy]pyrimidine.

3. Claims: 1-6 (all partially)

those pyrimidine derivatives of formula (I) wherein Q2 is S
and Q1 is O or NR17.

This group can be represented by the claimed compound
4[4-fluoro-3-(trifluoromethyl)phenoxy]-6-[(4-fluorophenyl)
thio]pyrimidine.

4. Claims: 1,3-6 (all partially)

those pyrimidine derivatives of formula (I) wherein Q1=Q2=S.
There are no working examples which can represent this group.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/03180

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